

EUROPEAN PATENT OFFICE

Patent Abstracts of Japan

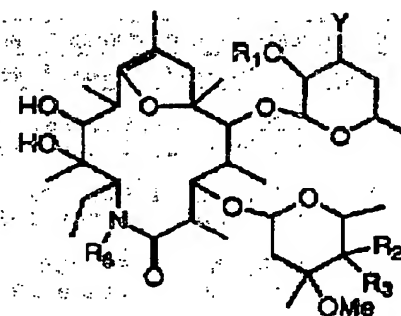
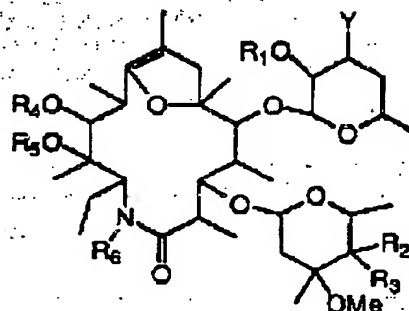
PUBLICATION NUMBER : 08231580
 PUBLICATION DATE : 10-09-96
 APPLICATION DATE : 27-12-95
 APPLICATION NUMBER : 07354773

APPLICANT : CHUGAI PHARMACEUT CO LTD;

INVENTOR : SATO TSUTOMU;

INT.CL. : C07H 17/00 A61K 31/70

TITLE : ERYTHROMYCIN DERIVATIVE



ABSTRACT : PURPOSE: To obtain the subject new derivative useful as a promoter for constriction movement of digestive canal, showing promoting action on constriction movement of digestive canal of mammals, capable of being orally administered, by substituting the hydroxyl group at the 12-position of erythromycin with a lower alkyl group.

CONSTITUTION: This new erythromycin derivative is shown by formula I [R_1 is H or an acyl; R_2 and R_3 are each H, hydroxyl group, an acyloxy or R_2 and R_3 are bonded to form O; R_4 is H or a lower alkyl; R_5 is a lower alkyl; R_6 is H or a lower alkyl; Y is a group of the formula R_7R_8 (R_7 and R_8 are each H, an acyl, a lower alkyl, etc.) or the formula $N^+R_9R_{10}X^-$ (R_9 to R_{11} are each H or a lower alkyl; X is an anion)]. The derivative has promoting action on constriction movement of digestive canal of mammals, is useful as a promoter for constriction movement of digestive canal, and can be orally administered. This compound is obtained by treating an erythromycin of formula II with an alkylating agent in the presence of a base in an inert solvent to substitute the hydroxyl group at the 12-position of the erythromycin with a lower alkyl group and optionally deprotecting and alkylating the resultant substance.

COPYRIGHT: (C)1996,JPO